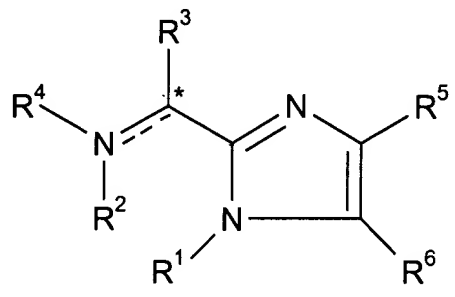


Amendment to the Claims

1. (Currently amended):

A compound of the formula (I),



(I)

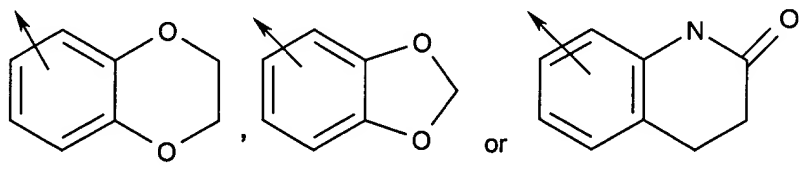
the racemic-diastereomeric mixtures and optical isomers of said compound of formula (I), ~~the pharmaceutically acceptable salts and prodrugs thereof~~ or a pharmaceutically acceptable salt thereof,

wherein

-----\* represents an optional bond;

R<sup>1</sup> is H, -(CH<sub>2</sub>)<sub>m</sub>-C(O)-(CH<sub>2</sub>)<sub>m</sub>-Z<sup>1</sup>, -(CH<sub>2</sub>)<sub>m</sub>-Z<sup>1</sup>, -(CH<sub>2</sub>)<sub>m</sub>-O-Z<sup>1</sup> or -(C<sub>0</sub>-C<sub>6</sub>)alkyl-C(O)-NH-(CH<sub>2</sub>)<sub>m</sub>-Z<sup>3</sup>;

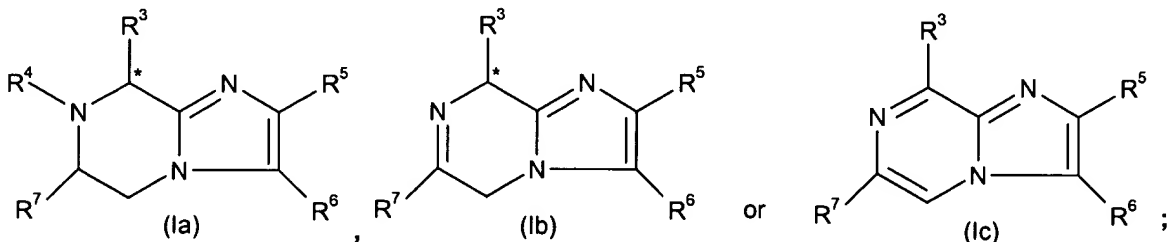
Z<sup>1</sup> is an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of (C<sub>1</sub>-C<sub>12</sub>)alkyl, benzo[b]thiophene, phenyl, naphthyl, benzo[b]furanyl, thiophene,



isoxazolyl, indolyl,

R<sup>2</sup> is H or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

or R<sup>1</sup> and R<sup>2</sup> are taken together with the nitrogen atoms to which they are attached to form a compound of formula (Ia), (Ib) or (Ic),



R<sup>3</sup> is -(CH<sub>2</sub>)<sub>m</sub>-E-(CH<sub>2</sub>)<sub>m</sub>-Z<sup>2</sup>;

Inventor : Thurieau et al.  
Serial No. : 09/719,457  
Filed : June 13, 2001  
Page : 3

E is O, S, -C(O)-, -C(O)-O-, -NH-C(O)-O- or a bond;

Z<sup>2</sup> is H, (C<sub>1</sub>-C<sub>12</sub>)alkyl, amino, (C<sub>1</sub>-C<sub>12</sub>)alkylamino, N,N-di-(C<sub>1</sub>-C<sub>12</sub>)alkylamino, (C<sub>1</sub>-C<sub>12</sub>)alkylguanidino, or an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl and naphthyl;

R<sup>4</sup> is H or -(CH<sub>2</sub>)<sub>m</sub>-A<sup>1</sup>;

A<sup>1</sup> is ~~-C(=Y)-N(X<sup>1</sup>X<sup>2</sup>), -C(=Y)-X<sup>2</sup>, -C(=NH)-X<sup>2</sup> or X<sup>2</sup>;~~

Y is O or S;

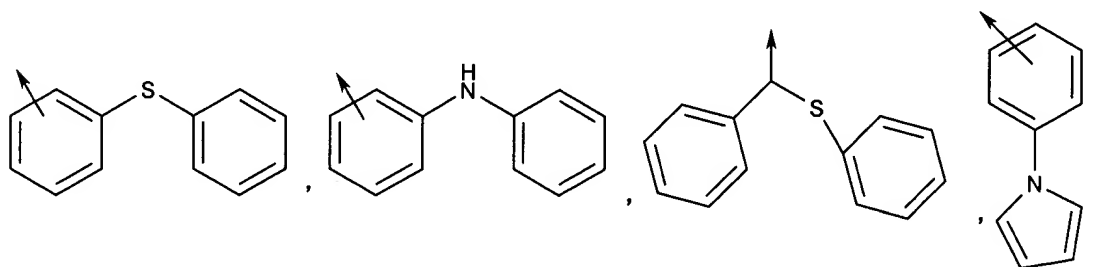
X<sup>1</sup> is H, (C<sub>1</sub>-C<sub>12</sub>)alkyl, -(CH<sub>2</sub>)<sub>m</sub>-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -(CH<sub>2</sub>)<sub>m</sub>-N-di-(C<sub>1</sub>-C<sub>6</sub>)alkyl or -(CH<sub>2</sub>)<sub>m</sub>-aryl;

X<sup>2</sup> is -(CH<sub>2</sub>)<sub>m</sub>-Y<sup>1</sup>-X<sup>3</sup> or ~~optionally substituted~~ unsubstituted or substituted (C<sub>1</sub>-C<sub>12</sub>)alkyl;

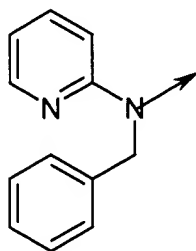
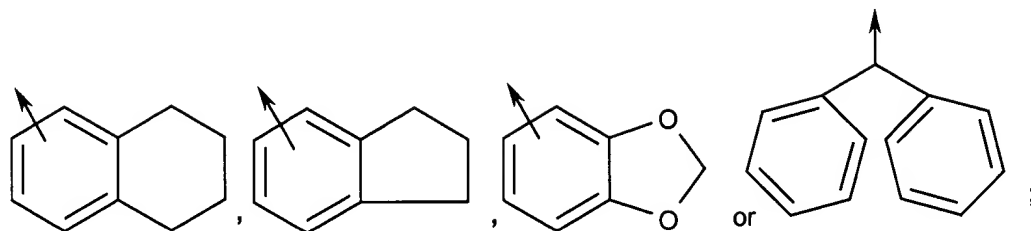
Y<sup>1</sup> is O, S, NH, C=O, (C<sub>2</sub>-C<sub>12</sub>)alkenyl having one or more double bonds,

-NH-CO-, -CO-NH-, -NH-CO-O-(CH<sub>2</sub>)<sub>m</sub>-, -C≡C-, SO<sub>2</sub> or a bond;

X<sup>3</sup> is H, an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of (C<sub>1</sub>-C<sub>12</sub>)alkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>12</sub>)alkoxy, aryloxy, (C<sub>1</sub>-C<sub>12</sub>)alkylamino, N,N-di-(C<sub>1</sub>-C<sub>12</sub>)alkylamino, -CH-di-(C<sub>1</sub>-C<sub>12</sub>)alkoxy, pyrrolidinyl, pyridinyl, thiophene, imidazolyl, piperidinyl, piperazinyl, benzothiazolyl, furanyl, indolyl, morpholino, benzo[b]furanyl, quinolinyl, isoquinolinyl, -(CH<sub>2</sub>)<sub>m</sub>-phenyl, naphthyl, fluorenyl, phthalamidyl, pyrimidinyl,

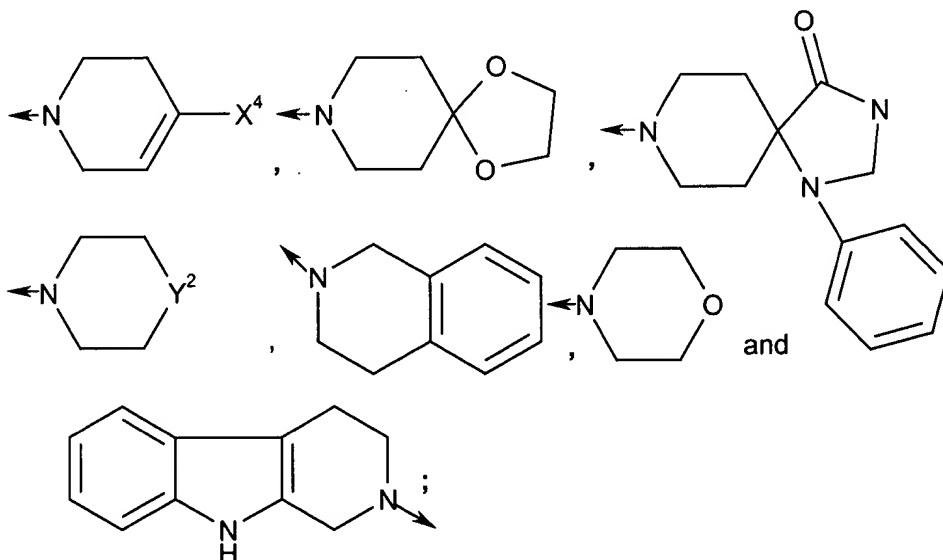


Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 4



A1

or  $X^1$  and  $X^2$  are taken together with the nitrogen to which they are attached to form an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of thiazolyl



$Y^2$  is  $CH-X^4$ ,  $N-X^4$ ,  $-C(X^4X^4)$ , O or S;

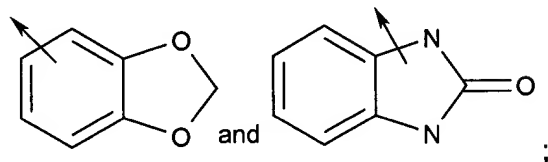
$X^4$  for each occurrence is independently  $-(CH_2)_m-Y^3-X^5$ ;

$Y^3$  is  $-C(O)-$ ,  $-C(O)O-$  or a bond;

$X^5$  is hydroxy,  $(C_1-C_{12})$ alkyl, amino,  $(C_1-C_{12})$ alkylamino, N,N-di- $(C_1-C_{12})$ alkylamino, or an ~~optionally substituted~~ unsubstituted or substituted moiety

Inventor : Thuriéau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 5

selected from the group consisting of aryl, aryl(C<sub>1</sub>-C<sub>4</sub>)alkyl, furanyl, pyridinyl, indolyl, -CH(phenyl)<sub>2</sub>,



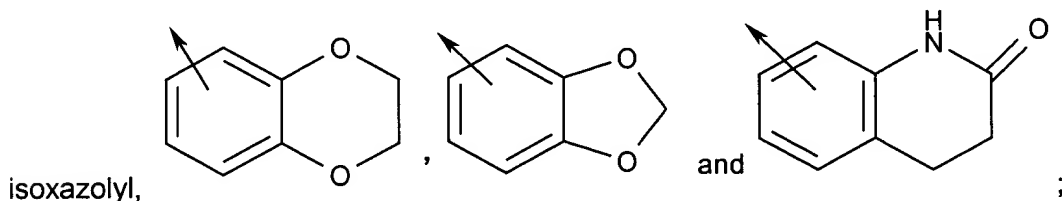
R<sup>5</sup> is (C<sub>1</sub>-C<sub>12</sub>)alkyl, (C<sub>0</sub>-C<sub>6</sub>)alkyl-C(O)-O-Z<sup>5</sup>, (C<sub>0</sub>-C<sub>6</sub>)alkyl-C(O)-NH-(CH<sub>2</sub>)<sub>m</sub>-Z<sup>3</sup> or ~~optionally substituted~~ unsubstituted or substituted aryl;

Z<sup>3</sup> for each occurrence is independently amino, (C<sub>1</sub>-C<sub>12</sub>)alkylamino, N,N-di-(C<sub>1</sub>-C<sub>12</sub>)alkylamino, -NH-C(O)-O-(CH<sub>2</sub>)<sub>m</sub>-phenyl -NH-C(O)-O-(CH<sub>2</sub>)<sub>m</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl or an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of imidazolyl, pyridinyl, morpholino, piperidinyl, piperazinyl, pyrazolidinyl, furanyl and thiophene;

R<sup>6</sup> is H or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>7</sup> is (C<sub>1</sub>-C<sub>12</sub>)alkyl or -(CH<sub>2</sub>)<sub>m</sub>-Z<sup>4</sup>;

Z<sup>4</sup> is an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of phenyl, naphthyl, indolyl, thiophene, benzo[b]furan, benzo[b]thiophene,



Z<sup>5</sup> is H, (C<sub>1</sub>-C<sub>12</sub>)alkyl, (CH<sub>2</sub>)<sub>m</sub>-aryl;

wherein an ~~optionally substituted~~ unsubstituted or substituted moiety is ~~optionally~~ substituted by one or more substituents, each independently selected from the group consisting of Cl, F, Br, I, CF<sub>3</sub>, CN, N<sub>3</sub>, NO<sub>2</sub>, OH, SO<sub>2</sub>NH<sub>2</sub>, -OCF<sub>3</sub>, (C<sub>1</sub>-C<sub>12</sub>)alkoxy, -(CH<sub>2</sub>)<sub>m</sub>-phenyl-(X<sup>6</sup>)<sub>n</sub>, -S-phenyl-(X<sup>6</sup>)<sub>n</sub>, -S-(C<sub>1</sub>-C<sub>12</sub>)alkyl, -O-(CH<sub>2</sub>)<sub>m</sub>-phenyl-(X<sup>6</sup>)<sub>n</sub>, -(CH<sub>2</sub>)<sub>m</sub>-C(O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -(CH<sub>2</sub>)<sub>m</sub>-C(O)-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -O-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub>, -O-(CH<sub>2</sub>)<sub>m</sub>-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -O-(CH<sub>2</sub>)<sub>m</sub>-N-di-((C<sub>1</sub>-C<sub>6</sub>)alkyl) and -(C<sub>0</sub>-C<sub>12</sub>)alkyl-(X<sup>6</sup>)<sub>n</sub>;

X<sup>6</sup> for each occurrence is independently selected from the group consisting of hydrogen, Cl, F, Br, I, NO<sub>2</sub>, N<sub>3</sub>, CN, OH, -CF<sub>3</sub>, -OCF<sub>3</sub>, (C<sub>1</sub>-C<sub>12</sub>)alkyl, (C<sub>1</sub>-C<sub>12</sub>)alkoxy, -(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub>,

-(CH<sub>2</sub>)<sub>m</sub>-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -(CH<sub>2</sub>)<sub>m</sub>-N-di-((C<sub>1</sub>-C<sub>6</sub>)alkyl) and -(CH<sub>2</sub>)<sub>m</sub>-phenyl;

m for each occurrence is independently 0 or an integer from 1 to 6; and

Inventor : Thuriéau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 6

n for each occurrence is independently an integer from 1 to 5;

provided that:

- (a) when  $R^5$  is  $(C_1-C_{12})$ alkyl or  $(C_0-C_6)$ alkyl- $C(O)-O-Z^5$  and  $Z^5$  is  $(C_1-C_{12})$ alkyl or an ~~optionally substituted~~ unsubstituted or substituted aryl;  $R^6$  is H or  $(C_1-C_6)$ alkyl;  $R^7$  is  $(C_1-C_{12})$ alkyl or  $Z^4$  and  $Z^4$  is thiophene or an ~~optionally substituted~~ unsubstituted or substituted phenyl, then  $R^3$  is not - $C(O)-O-(CH_2)_m-Z$  where m is 0 and Z is H or  $(C_1-C_{12})$ alkyl or where m is 1 to 6 and Z is H;
- (b) when  $R^5$  is  $(C_1-C_{12})$ alkyl or an ~~optionally substituted~~ unsubstituted or substituted phenyl;  $R^6$  is H or  $(C_1-C_{12})$ alkyl;  $R^7$  is  $(C_1-C_{12})$ alkyl and  $R^3$  is  $-O-(CH_2)-Z^2$ , then  $Z^2$  is not an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl, and naphthyl; ~~and~~
- (c) when  $R^5$  is H or  $(C_1-C_{12})$ alkyl;  $R^6$  is  $(C_1-C_6)$ alkyl;  $R^7$  is  $(C_1-C_{12})$ alkyl; and  $R^3$  is  $-O-Z^2$  or  $-S-Z^2$ , then  $Z^2$  is not an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of phenyl, naphthyl, thiophene, benzothiophenyl and indolyl; and
- (d) when  $R^1$  is H;  $R^2$  is H;  $R^5$  is a substituted aryl; and  $R^6$  is H,  $R^3$  is not  $-(CH_2)_m-E-(CH_2)_m-Z^2$  wherein each occurrence of m is 0, E is a bond and  $Z^2$  is H.

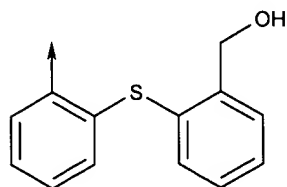
2. (Original): A compound according to claim 1 wherein  $R^1$  is H;  $R^2$  is H;  $R^3$  is  $-CH_2$ -phenyl;  $R^4$  is  $-(CH_2)_m-A^1$  where m in the definition of  $R^4$  is 0;  $R^5$  is phenyl;  $R^6$  is H;

where  $A^1$  is  $-C(=Y)-N(X^1X^2)$ ;

Y is O;  $X^1$  is H or methyl;

$X^2$  is  $-(CH_2)_m-Y^1-X^3$ ;

m in the definition of  $X^2$  is 0, 1, 2 or 3;  $Y^1$  is a bond or O; and  $X^3$  is N-methylpyrrolidin-2-yl, diethylamino, pyridinyl, thiophene, imidazolyl, diethoxymethyl, 1-benzyl-piperidin-4-yl, unsubstituted or substituted phenyl or



3. (Original): A compound according to claim 1 wherein  $R^1$  is H;  $R^2$  is H;  $R^3$  is  $-CH_2$ -phenyl;  $R^4$  is  $-(CH_2)_m-A^1$  where m in the definition of  $R^4$  is 0;  $R^5$  is phenyl;  $R^6$  is H;

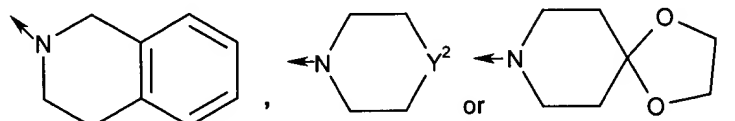
where  $A^1$  is  $-C(=Y)-N(X^1X^2)$ ;

Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 7

Y is O;

X<sup>1</sup> is benzyl and X<sup>2</sup> is 2-hydroxyethyl;

or X<sup>1</sup> and X<sup>2</sup> are taken together with the nitrogen atom to which they are

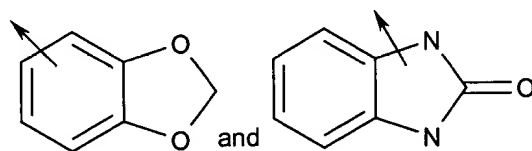


attached to form

where Y<sup>2</sup> is C-X<sup>4</sup> or N-X<sup>4</sup>;

X<sup>4</sup> is -(CH<sub>2</sub>)<sub>m</sub>-Y<sup>3</sup>-X<sup>5</sup> where m in the definition of X<sup>4</sup> is 0 or 1; and

X<sup>5</sup> is selected from the group consisting of furanyl, benzyl, phenyl, amino,



4. (Withdrawn):

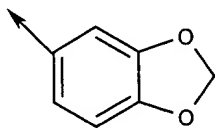
5. (Original): A compound according to claim 1 wherein R<sup>1</sup> is H; R<sup>2</sup> is H; R<sup>3</sup> is -CH<sub>2</sub>-indol-3-yl; R<sup>4</sup> is -(CH<sub>2</sub>)<sub>m</sub>-A<sup>1</sup> where m in the definition of R<sup>4</sup> is 0; R<sup>5</sup> is phenyl or t-Bu; R<sup>6</sup> is H;

A<sup>1</sup> is -C(=Y)-N(X<sup>1</sup>X<sup>2</sup>);

Y is O or S; X<sup>1</sup> is H; X<sup>2</sup> is -(CH<sub>2</sub>)<sub>m</sub>-Y<sup>1</sup>-X<sup>3</sup>;

m in the definition of X<sup>2</sup> is 0, 1 or 2;

Y<sup>1</sup> is a bond; and X<sup>3</sup> is phenyl, o-Cl-phenyl, m-Cl-phenyl, p-phenyloxy-phenyl, 2,6-diisopropylphenyl, m-CF<sub>3</sub>-phenyl, p-ethoxycarbonyl-phenyl, 2,4-difluorophenyl, m-NO<sub>2</sub>-phenyl, p-benzyloxyphenyl, o-isopropylphenyl, n-hexyl, 4-morpholino, naphthyl or



6. (Withdrawn):

7. (Original): A compound according to claim 1 wherein R<sup>1</sup> is H; R<sup>2</sup> is H; R<sup>3</sup> is -CH<sub>2</sub>-indol-3-yl; R<sup>4</sup> is -(CH<sub>2</sub>)<sub>m</sub>-A<sup>1</sup> where m in the definition of R<sup>4</sup> is 0; R<sup>5</sup> is phenyl or t-Bu; R<sup>6</sup> is H;

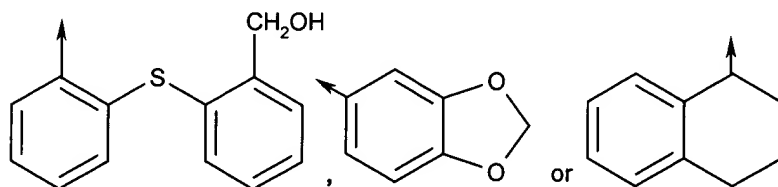
where A<sup>1</sup> is -C(=Y)-N(X<sup>1</sup>X<sup>2</sup>);

Y is O; X<sup>1</sup> is hydrogen; X<sup>2</sup> is -(CH<sub>2</sub>)<sub>m</sub>-Y<sup>1</sup>-X<sup>3</sup>;

Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 8

where m in the definition of  $X^2$  is 0, 1, 2 or 3;

$Y^1$  is O, or a bond; and  $X^3$  is cyclopentyl, 4-OH-butyl, N,N-diethylamino, N-methyl-pyrrolidin-3-yl, -CH(ethoxy)<sub>2</sub>, phenyl, p-SO<sub>2</sub>NH<sub>2</sub>-phenyl p-OH-phenyl, o-CF<sub>3</sub>-phenyl, p-Cl-phenyl, -CH(phenyl)<sub>2</sub>,



8. (Withdrawn):

9. (Original): A compound according to claim 1 wherein  $R^1$  is H;  $R^2$  is H;  $R^3$  is -CH<sub>2</sub>-indol-3-yl, -(CH<sub>2</sub>)<sub>4</sub>-NH-CO-O-t-Bu or -(CH<sub>2</sub>)<sub>4</sub>-NH<sub>2</sub>;  $R^4$  is -(CH<sub>2</sub>)<sub>m</sub>-A<sup>1</sup> where m in the definition of  $R^4$  is 0;  $R^5$  is phenyl, o-methoxyphenyl, p-Br-phenyl, p-nitro-phenyl or p-N,N-diethylamino-phenyl;  $R^6$  is H;

where A<sup>1</sup> is -C(=Y)-N( $X^1X^2$ );

Y is O;  $X^1$  is H;  $X^2$  is -(CH<sub>2</sub>)<sub>m</sub>- $Y^1$ - $X^3$ ;

where m in the definition of  $X^2$  is 0;

$Y^1$  is a bond; and  $X^3$  is o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, o-Cl-phenyl, m-Cl-phenyl, p-Cl-phenyl, o-nitro-phenyl, m-nitro-phenyl, p-nitro-phenyl, o-CF<sub>3</sub>-phenyl, m-CF<sub>3</sub>-phenyl, p-CF<sub>3</sub>-phenyl, p-F-phenyl, 2,4-di-F-phenyl, 2,5-di-F-phenyl, 2,5-di-methoxy-phenyl, m-OMe-phenyl, p-OMe-phenyl, 2-CF<sub>3</sub>-4-Cl-phenyl or 3-nitro-4-F-phenyl.

10. (Withdrawn):

11. (Original): A compound according to claim 9 wherein  $R^5$  is phenyl and  $R^3$  is -(CH<sub>2</sub>)-indol-3-yl and the stereochemistry at the carbon to which  $R^3$  is attached is the R-configuration.

12. (Withdrawn):

13. (Withdrawn):

14. (Withdrawn):

15. (Withdrawn):

16. (Withdrawn):

Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 9

17. (Withdrawn):

18. (Withdrawn):

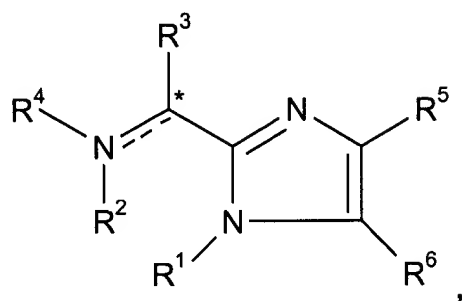
19. (Withdrawn):

20. (Withdrawn):

21. (Withdrawn):

22. (Currently Amended):

A compound of the formula (II),



(II)

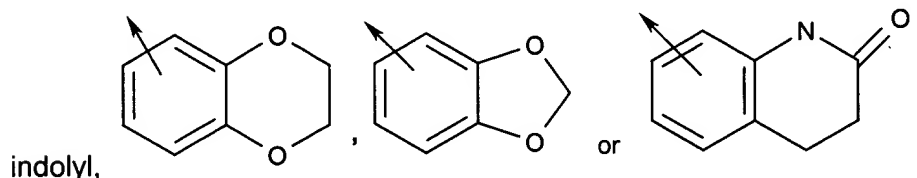
the racemic-diastereomeric mixtures and optical isomers of said compound of formula (II), ~~the pharmaceutically acceptable salts and prodrugs thereof~~ or a pharmaceutically acceptable salt thereof,

wherein

----- represents an optional bond;

R<sup>1</sup> is H, -(CH<sub>2</sub>)<sub>m</sub>-C(O)-(CH<sub>2</sub>)<sub>m</sub>-Z<sup>1</sup>, -(CH<sub>2</sub>)<sub>m</sub>-Z<sup>1</sup>, -(CH<sub>2</sub>)<sub>m</sub>-O-Z<sup>1</sup> or -(C<sub>0</sub>-C<sub>6</sub>)alkyl-C(O)-NH-(CH<sub>2</sub>)<sub>m</sub>-Z<sup>3</sup>;

Z<sup>1</sup> is an unsubstituted or substituted moiety selected from the group consisting of (C<sub>1</sub>-C<sub>12</sub>)alkyl, benzo[b]thiophene, phenyl, naphthyl, benzo[b]furanyl, thiophene, isoxazolyl,

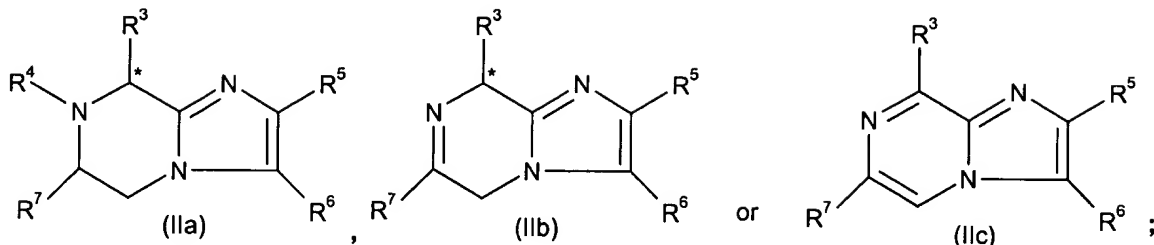


R<sup>2</sup> is H or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

or R<sup>1</sup> and R<sup>2</sup> are taken together with the nitrogen atoms to which they are attached to form a compound of formula (IIa), (IIb) or (IIc),



Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 10



R<sup>3</sup> is  $-(CH_2)_m-E-(CH_2)_m-Z^2$ ;

E is O, S,  $-C(O)-$ ,  $-C(O)-O-$ ,  $-NH-C(O)-O-$  or a bond;

Z<sup>2</sup> is H, (C<sub>1</sub>-C<sub>12</sub>)alkyl, amino, (C<sub>1</sub>-C<sub>12</sub>)alkylamino, N,N-di-(C<sub>1</sub>-C<sub>12</sub>)alkylamino, (C<sub>1</sub>-C<sub>12</sub>)alkylguanidino, or an optionally-substituted unsubstituted or substituted moiety selected from the group

consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl and naphthyl;

R<sup>4</sup> is H or  $-(CH_2)_m-A^1$ ;

A<sup>1</sup> is  $-C(=Y)-N(X^1X^2)$ ,  $-C(=Y)-X^2$ ,  $-C(=NH)-X^2$  or  $X^2$ ;

Y is O or S;

X<sup>1</sup> is H, (C<sub>1</sub>-C<sub>12</sub>)alkyl,  $-(CH_2)_m-NH-(C_1-C_6)alkyl$ ,  $-(CH_2)_m-N-di-(C_1-C_6)alkyl$  or  $-(CH_2)_m-aryl$ ;

X<sup>2</sup> is  $-(CH_2)_m-Y^1-X^3$  or an optionally-substituted unsubstituted or substituted (C<sub>1</sub>-C<sub>12</sub>)alkyl;

Y<sup>1</sup> is O, S, NH, C=O, (C<sub>2</sub>-C<sub>12</sub>)alkenyl having one or more double bonds,

$-NH-CO-$ ,  $-CO-NH-$ ,  $-NH-CO-O-(CH_2)_m-$ ,  $-C\equiv C-$ , SO<sub>2</sub> or a bond;

X<sup>3</sup> is H, an optionally-substituted unsubstituted or substituted moiety selected from the group consisting of

(C<sub>1</sub>-C<sub>12</sub>)alkyl, (C<sub>3</sub>-C<sub>8</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>12</sub>)alkoxy, aryloxy, (C<sub>1</sub>-C<sub>12</sub>)alkylamino,

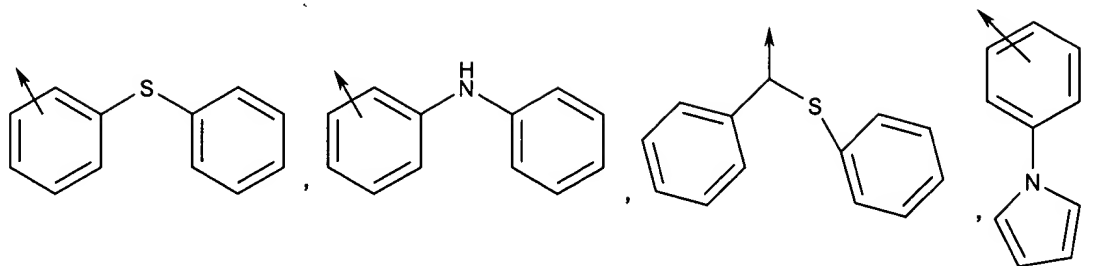
N,N-di-(C<sub>1</sub>-C<sub>12</sub>)alkylamino,  $-CH-di-(C_1-C_{12})alkoxy$ , pyrrolidinyl, pyridinyl,

thiophene, imidazolyl, piperidinyl, piperazinyl, benzothiazolyl, furanyl, indolyl,

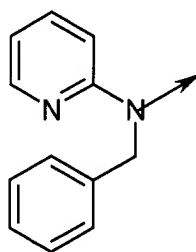
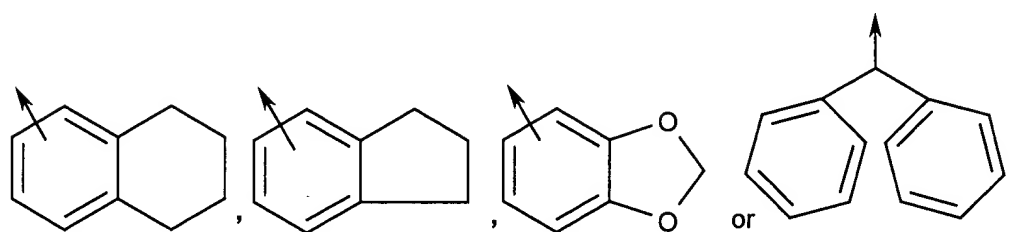
morpholino, benzo[b]furanyl, quinolinyl, isoquinolinyl,  $-(CH_2)_m-phenyl$ , naphthyl,

fluorenyl, phthalamidyl, pyrimidinyl,

Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 11

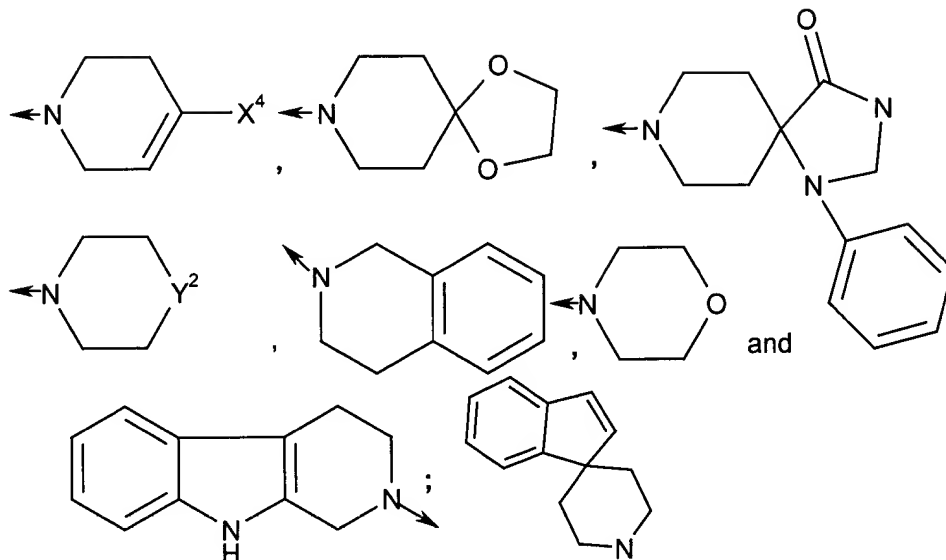


A1



or  $X^1$  and  $X^2$  are taken together with the nitrogen to which they are attached to form an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of thiazolyl

Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 12



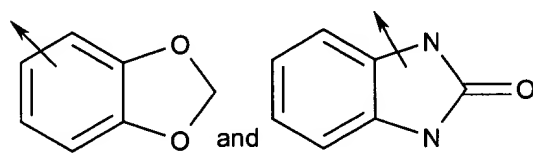
$Y^2$  is  $CH-X^4$ ,  $N-X^4$ ,  $-C(X^4X^4)$ , O or S;

$X^4$  for each occurrence is independently  $-(CH_2)_m-Y^3-X^5$ ;

$Y^3$  is  $-C(O)-$ ,  $-C(O)O-$  or a bond;

$X^5$  is hydroxy,  $(C_1-C_{12})$ alkyl, amino,  $(C_1-C_{12})$ alkylamino, N,N-di- $(C_1-C_{12})$ alkylamino, or an optionally-substituted unsubstituted or substituted moiety selected from the

group consisting of aryl, aryl $(C_1-C_4)$ alkyl, furanyl, pyridinyl, indolyl, piperidinyl,  $-CH(phenyl)_2$ ,

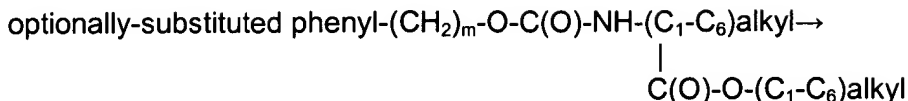


$R^5$  is  $(C_1-C_{12})$ alkyl,  $(C_0-C_6)$ alkyl- $C(O)-O-Z^5$ ,  $(C_0-C_6)$ alkyl- $C(O)-NH-(CH_2)_m-Z^3$  or an optionally substituted unsubstituted or substituted aryl;

$Z^3$  for each occurrence is independently amino,  $(C_1-C_{12})$ alkylamino, amino $(C_1-C_{12})$ alkyl,  $(C_5-C_7)$ cycloalkylamino, amino $((C_5-C_7)$ cycloalkyl, N- $(C_1-C_{12})$ alkylamino, N,N-di- $(C_1-C_{12})$ alkylamino,  $(C_5-C_7)$ cycloalkyl,

↑  
 $H_2N(C_1-C_6)$ alkyl- $C(O)-O-(C_1-C_6)$ alkyl,

Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 13



or an ~~optionally-substituted~~ unsubstituted or substituted moiety selected from the group consisting of imidazolyl,

pyridinyl, morpholino, piperidinyl, piperazinyl, pyrazolidinyl, furanyl, phenyl, indolyl

and thiophene; provided that when m is 0 in the formula for R<sup>5</sup> then Z<sup>3</sup> is not -NH-

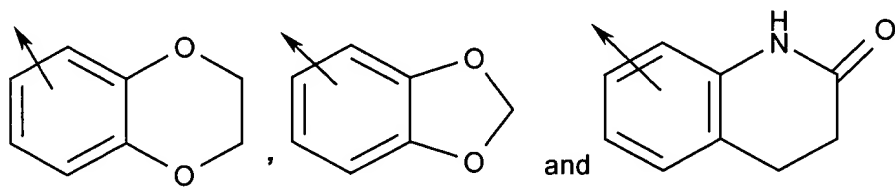
C(O)-O(CH<sub>2</sub>)<sub>m</sub>-phenyl or -NH-C(O)-O-(CH<sub>2</sub>)<sub>m</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkyl;

A<sub>1</sub> R<sup>6</sup> is H or (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>7</sup> is (C<sub>1</sub>-C<sub>12</sub>)alkyl or -(CH<sub>2</sub>)<sub>m</sub>-Z<sup>4</sup>;

Z<sup>4</sup> is an ~~optionally-substituted~~ unsubstituted or substituted moiety selected from the group consisting of phenyl,

naphthyl, indolyl, thiophene, benzo[b]furan, benzo[b]thiophene, isoxazolyl,



Z<sup>5</sup> is H, (C<sub>1</sub>-C<sub>12</sub>)alkyl, (CH<sub>2</sub>)<sub>m</sub>-aryl;

wherein an ~~optionally-substituted~~ unsubstituted or substituted moiety is ~~optionally~~ substituted by one or more

substituents, each independently selected from the group consisting of Cl, F, Br, I, CF<sub>3</sub>,

CN, N<sub>3</sub>, NO<sub>2</sub>, OH, SO<sub>2</sub>NH<sub>2</sub>, -OCF<sub>3</sub>, (C<sub>1</sub>-C<sub>12</sub>)alkoxy, -(CH<sub>2</sub>)<sub>m</sub>-phenyl-(X<sup>6</sup>)<sub>n</sub>, -S-phenyl-(X<sup>6</sup>)<sub>n</sub>,

-S-(C<sub>1</sub>-C<sub>12</sub>)alkyl, -O-(CH<sub>2</sub>)<sub>m</sub>-phenyl-(X<sup>6</sup>)<sub>n</sub>, -(CH<sub>2</sub>)<sub>m</sub>-C(O)-O-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -(CH<sub>2</sub>)<sub>m</sub>-C(O)-(C<sub>1</sub>-

C<sub>6</sub>)alkyl, -O-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub>, -O-(CH<sub>2</sub>)<sub>m</sub>-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -O-(CH<sub>2</sub>)<sub>m</sub>-N-di-((C<sub>1</sub>-C<sub>6</sub>)alkyl),

-(C<sub>0</sub>-C<sub>12</sub>)alkyl-(X<sup>6</sup>)<sub>n</sub> and -(CH<sub>2</sub>)<sub>m</sub>-phenyl-X<sup>7</sup>;

X<sup>6</sup> for each occurrence is independently selected from the group consisting of

hydrogen, Cl, F, Br, I, NO<sub>2</sub>, N<sub>3</sub>, CN, OH, -CF<sub>3</sub>, -OCF<sub>3</sub>, (C<sub>1</sub>-C<sub>12</sub>)alkyl, (C<sub>1</sub>-C<sub>12</sub>)alkoxy,

-(CH<sub>2</sub>)<sub>m</sub>-NH<sub>2</sub>, -(CH<sub>2</sub>)<sub>m</sub>-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl, -(CH<sub>2</sub>)<sub>m</sub>-N-di-((C<sub>1</sub>-C<sub>6</sub>)alkyl) and -(CH<sub>2</sub>)<sub>m</sub>-

phenyl;

X<sup>7</sup> is -NH-C(=NH•HI)-X<sup>8</sup>, wherein X<sup>8</sup> is thiophene, (C<sub>1</sub>-C<sub>6</sub>)alkyl or phenyl;

Inventor : Thuriéau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 14

m for each occurrence is independently 0 or an integer from 1 to 6; and

n for each occurrence is independently an integer from 1 to 5;

provided that:

(a) when  $R^5$  is  $(C_1-C_{12})$ alkyl or  $-C(O)-O-Z^5$  and  $Z^5$  is  $(C_1-C_{12})$ alkyl or an optionally-substituted unsubstituted or substituted aryl;  $R^6$  is H or  $(C_1-C_6)$ alkyl;  $R^7$  is  $(C_1-C_{12})$ alkyl or  $Z^4$  and  $Z^4$  is thiophene or an optionally-substituted unsubstituted or substituted phenyl, then  $R^3$  is not  $-C(O)-O-(CH_2)_m-Z$  where m is 0 and Z is H or  $(C_1-C_{12})$ alkyl or where m is 1 to 6 and Z is H;

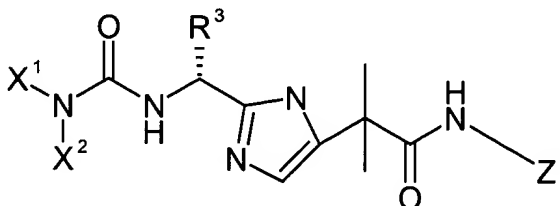
(b) when  $R^5$  is  $(C_1-C_{12})$ alkyl or an optionally-substituted unsubstituted or substituted phenyl;  $R^6$  is H or  $(C_1-C_{12})$ alkyl;  $R^7$  is  $(C_1-C_{12})$ alkyl and  $R^3$  is  $-O-(CH_2)-Z^2$ , then  $Z^2$  is not an optionally-substituted unsubstituted or substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl, and naphthyl; and

(c) when  $R^5$  is H or  $(C_1-C_{12})$ alkyl;  $R^6$  is  $(C_1-C_6)$ alkyl;  $R^7$  is  $(C_1-C_{12})$ alkyl; and  $R^3$  is  $-O-Z^2$  or  $-S-Z^2$ , then  $Z^2$  is not an optionally-substituted unsubstituted or substituted moiety selected from the group consisting of phenyl, naphthyl, thiophene, benzothiophene and indolyl; and

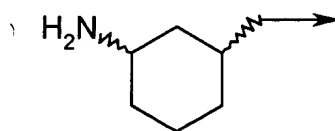
(d) when  $R^1$  is H;  $R^2$  is H;  $R^5$  is a substituted aryl; and  $R^6$  is H,  $R^3$  is not  $-(CH_2)_m-E-(CH_2)_m-Z^2$  wherein each occurrence of m is 0, E is a bond and  $Z^2$  is H.

23. (Currently amended):

A compound according to claim 22 of the formula  
 (R configuration)



wherein



Inventor : Thuriéau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 15

$Z^3$  is  $-\text{CH}_2\text{-NH}_2$ ,  $-(\text{CH}_2)_2$ ,  $-(\text{CH}_2)_3\text{-NH}_2$  or ;

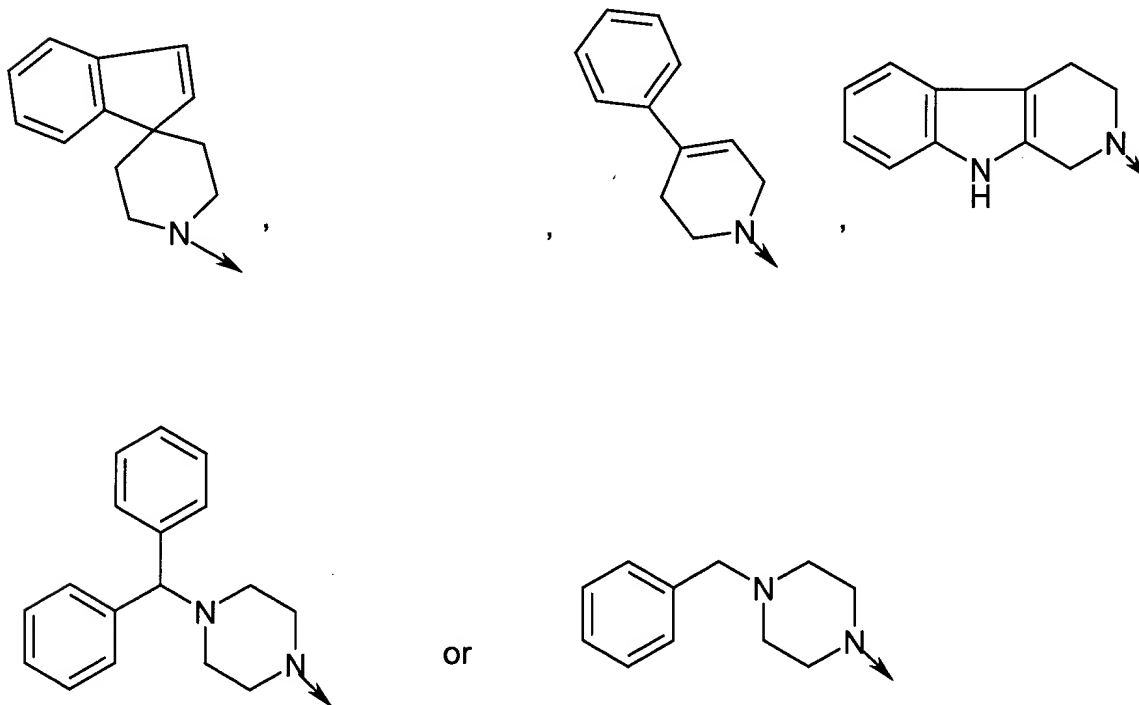
$R^3$  is  $-(\text{CH}_2)_m\text{-E-(CH}_2)_m\text{-Z}^2$ ;

E is O, S,  $-\text{C(O)-}$ ,  $-\text{C(O)-O-}$ ,  $-\text{NH-C(O)-O-}$  or a bond;

$Z^2$  is H,  $(\text{C}_1\text{-C}_{12})$ alkyl, amino,  $(\text{C}_1\text{-C}_{12})$ alkylamino, N,N-di- $(\text{C}_1\text{-C}_{12})$ alkylamino,  $(\text{C}_1\text{-C}_{12})$ alkylguanidino, or an ~~optionally substituted~~ unsubstituted or substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl and naphthyl; and

$X^1$  is  $-(\text{CH}_2)_2\text{-N(CH}_3)_2$  and  $X^2$  is benzyl; or

$X^1$  and  $X^2$  are taken together with the nitrogen atom to which they are attached, to form

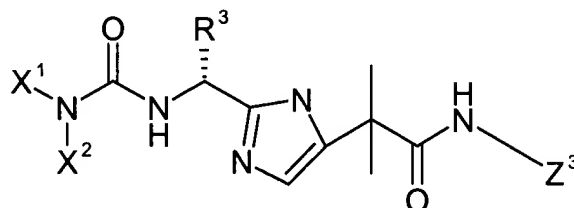


or a pharmaceutically acceptable salt thereof.

Inventor : Thurieau et al.  
 Serial No. : 09/719,457  
 Filed : June 13, 2001  
 Page : 16

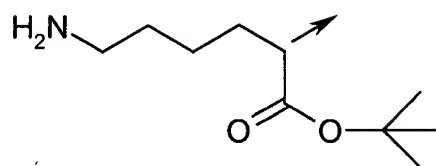
24. (Currently amended):

A compound according to claim 22 23 of the formula  
 (R configuration)

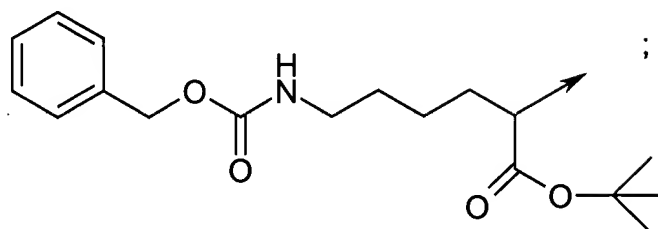


wherein

Z<sup>3</sup> is



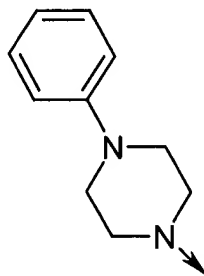
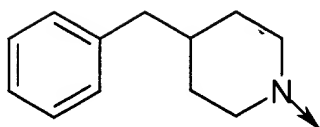
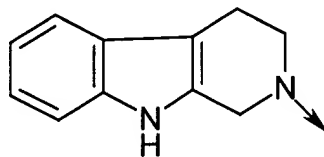
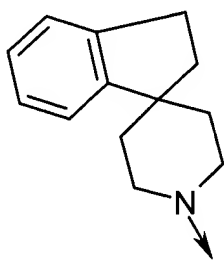
or



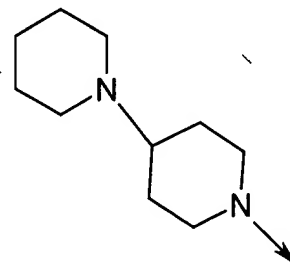
and

X<sup>1</sup> is -(CH<sub>2</sub>)<sub>2</sub>-N(CH<sub>3</sub>)<sub>2</sub> and X<sup>2</sup> is benzyl; or

X<sup>1</sup> and X<sup>2</sup> are taken together with the nitrogen atom to which they are attached, to form



or



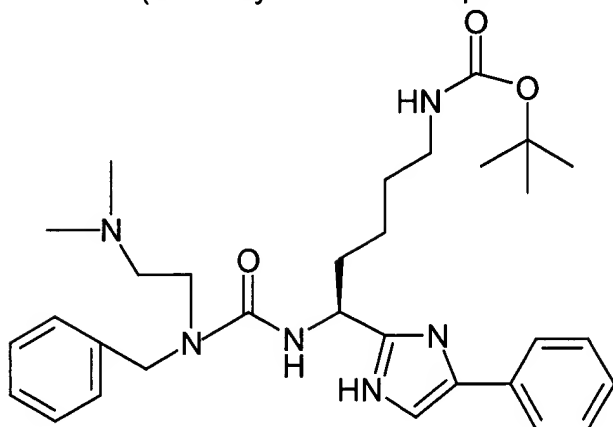
25. (Withdrawn):

26. (Withdrawn):

Inventor : Thurieau et al.  
Serial No. : 09/719,457  
Filed : June 13, 2001  
Page : 17

27. (Currently

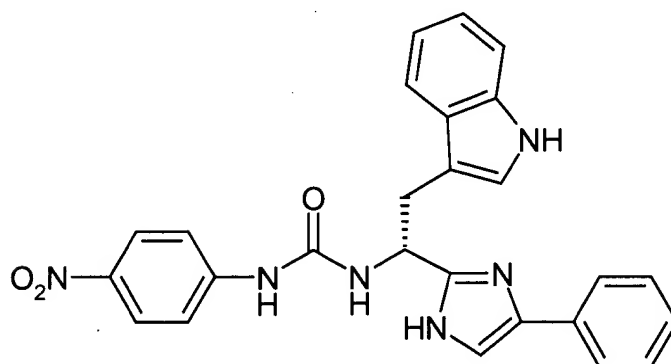
A compound according to claim ~~22~~ 23 of the formula



amended):

28. (Currently

A compound according to claim ~~22~~ 23 of the formula



29. (Withdrawn):

30. (Original): A pharmaceutical composition comprising a compound according to claim 1 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

31. (Withdrawn):

32. (Withdrawn):

33. (Original): A method of binding one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.



Inventor : Thurieau et al.  
Serial No. : 09/719,457  
Filed : June 13, 2001  
Page : 18

34. (Withdrawn):

35. (Withdrawn):

36. (Withdrawn):

37. (Withdrawn):

38. (Withdrawn):

39. (Withdrawn):

40. (Withdrawn):

41. (Withdrawn):

42. (Withdrawn):

43. (Withdrawn):

---

A'